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FILE LAST UPDATED: 22 Mar 2006 (20060322/ED)

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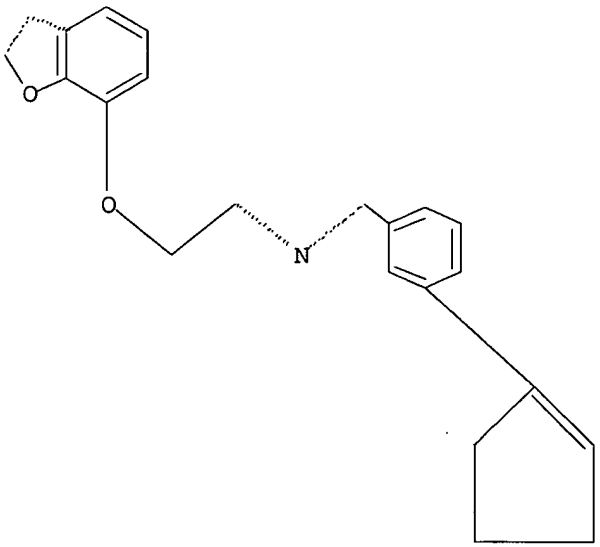
L1 STR



Structure attributes must be viewed using STN Express query preparation.
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L4 1 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:329920 CAPLUS
DOCUMENT NUMBER: 140:339186
TITLE: Preparation of 3-(cyclopenten-1-yl)benzyl- or 3-(cyclopenten-1-yl)heteroarylmethylamines and their use as dopaminergic D2 antagonists for treating

schizophrenia

INVENTOR(S): Vacher, Bernard; Cuisiat, Stephane; Koek, Wouter; Colpaert, Francis

PATENT ASSIGNEE(S): Pierre Fabre Medicament, Fr.

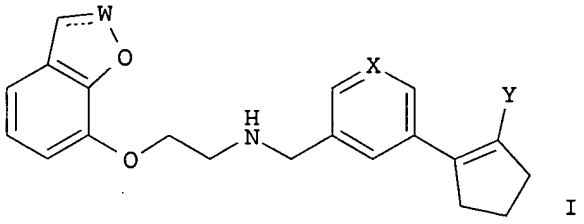
SOURCE: Fr. Demande, 36 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

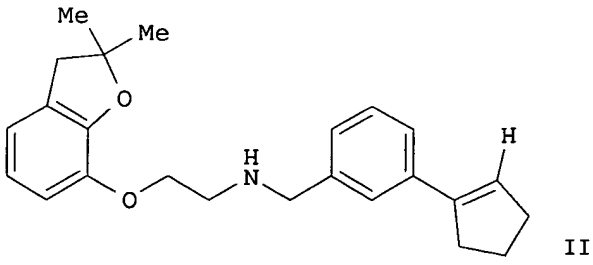
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2845992	A1	20040423	FR 2002-12854	20021016
FR 2845992	B1	20050204		
CA 2502528	AA	20040429	CA 2003-2502528	20031016
WO 2004035561	A1	20040429	WO 2003-FR3053	20031016
W: AU, BR, CA, CN, JP, MX, US, ZA				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
AU 2003301277	A1	20040504	AU 2003-301277	20031016
EP 1551821	A1	20050713	EP 2003-808761	20031016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
BR 2003015365	A	20050823	BR 2003-15365	20031016
JP 2006508080	T2	20060309	JP 2004-544396	20031016
US 2006014827	A1	20060119	US 2005-531587	20050418
PRIORITY APPLN. INFO.:			FR 2002-12854 A	20021016
			WO 2003-FR3053 W	20031016

OTHER SOURCE(S): MARPAT 140:339186

GI



I



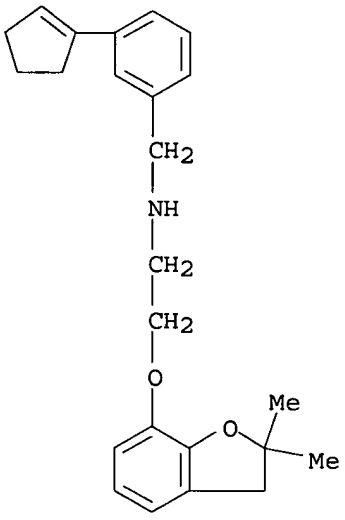
II

AB Title compds. I [W = CH, CH2, CHCH3, CCH3, C(CH3)2, a group C(CH2)2 (i.e., a carbon atom carrying two methylene groups so as to form a spirocyclopropane ring) or C(CH2)3 (i.e., a carbon atom carrying two methylene groups so as to form a spirocyclobutane ring) with provisos; X =

CH, N; Y = H, F; their salts and hydrates of the salts of addition with mineral acids or the pharmaceutically acceptable organic acids, their tautomers, pure enantiomers and the racemic mixts. of enantiomers] were prepared as dopaminergic D2 antagonists drugs for treating of schizophrenia. For example, II was prepared by reductive alkylation of 3-(Cyclopenten-1-yl)benzaldehyde (preparation given) with 2-(2,2-Dimethyl-2,3-dihydrobenzofuran-7-yloxy)ethylamine (preparation given) in CH2Cl2 in the presence of MgSO4 at 60°. Selected I displayed affinity for D2 and 5HT1A receptors, with pKi ratios comparable to Nemonapride [pKi(D2)/pKi(5HT1A) = 1.3]. I are potent and efficient dopaminergic antagonists without secondary effects such as catalepsy in animals. I are useful for treating schizophrenic psychoses.

IT **680203-70-7P**, [2-(2,2-Dimethyl-2,3-dihydrobenzofuran-7-yloxy)ethyl][3-(cyclopenten-1-yl)benzyl]amine **680203-72-9P** **680203-73-0P**, [2-(Benzofuran-7-yloxy)ethyl][3-(cyclopenten-1-yl)benzyl]amine **680203-75-2P** **680203-77-4P**, [2-(2-Methylbenzofuran-7-yloxy)ethyl][3-(cyclopenten-1-yl)benzyl]amine **680203-81-0P** **680203-84-3P**, [2-(2,3-Dihydrobenzofuran-7-yloxy)ethyl][3-(cyclopenten-1-yl)benzyl]amine **680203-89-8P** **680203-90-1P** **680203-92-3P** **680203-93-4P** **680203-94-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dopamine D2 antagonist; preparation of 3-(cyclopenten-1-yl)benzyl- or 3-(cyclopenten-1-yl)heteroarylmethylamines as dopaminergic D2 antagonists for treating schizophrenia)

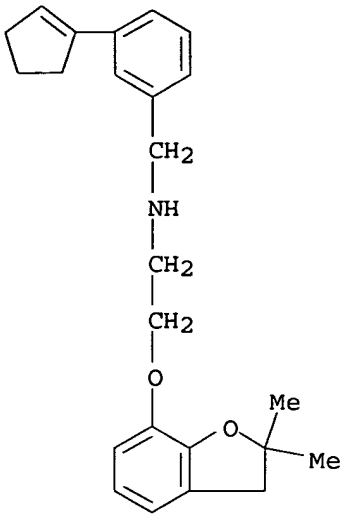
RN 680203-70-7 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 680203-72-9 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

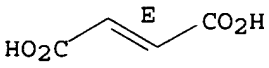
CRN 680203-70-7
CMF C24 H29 N O2



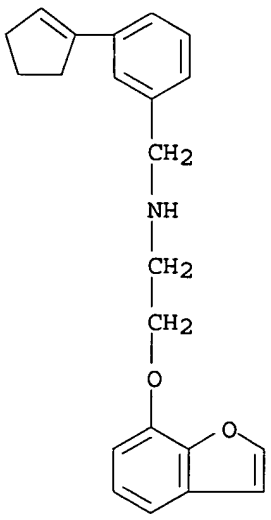
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



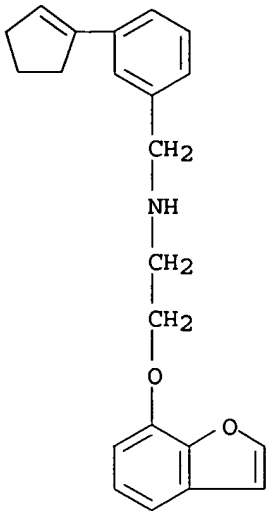
RN 680203-73-0 CAPLUS
CN Benzenemethanamine, N-[2-(7-benzofuranyloxy)ethyl]-3-(1-cyclopenten-1-yl)-(9CI) (CA INDEX NAME)



RN 680203-75-2 CAPLUS
CN Benzenemethanamine, N-[2-(7-benzofuranyloxy)ethyl]-3-(1-cyclopenten-1-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

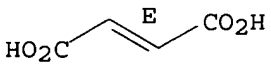
CRN 680203-73-0
CMF C22 H23 N O2



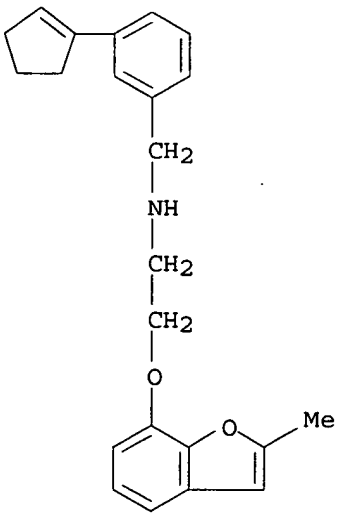
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



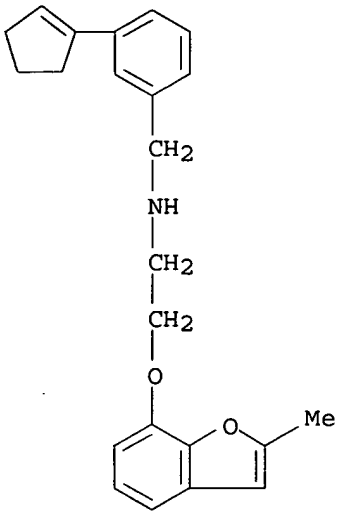
RN 680203-77-4 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-[(2-methyl-7-benzofuranyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 680203-81-0 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-[(2-methyl-7-benzofuranyl)oxy]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

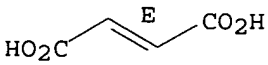
CRN 680203-77-4
CMF C23 H25 N O2



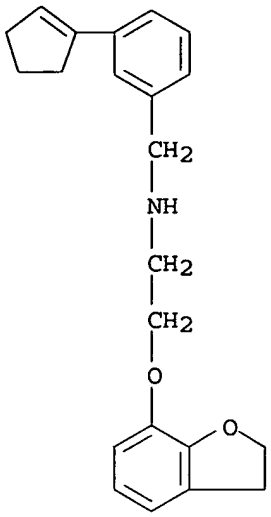
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



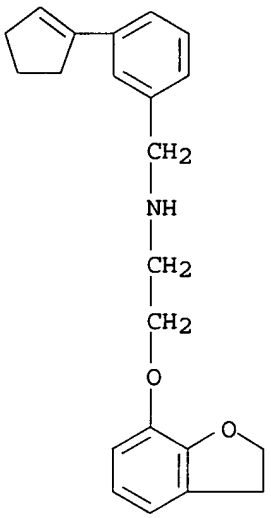
RN 680203-84-3 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-[(2,3-dihydro-7-benzofuranyl)oxy]ethyl]- (9CI) (CA INDEX NAME)



RN 680203-89-8 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-[(2,3-dihydro-7-benzofuranyl)oxy]ethyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

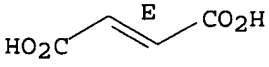
CRN 680203-84-3
CMF C22 H25 N O2



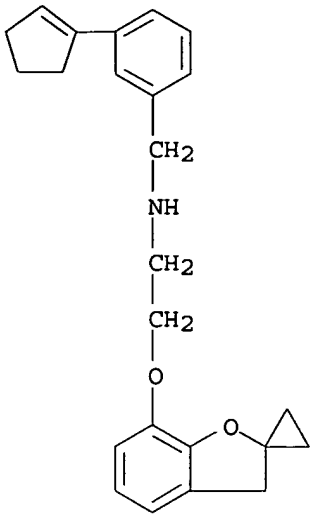
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



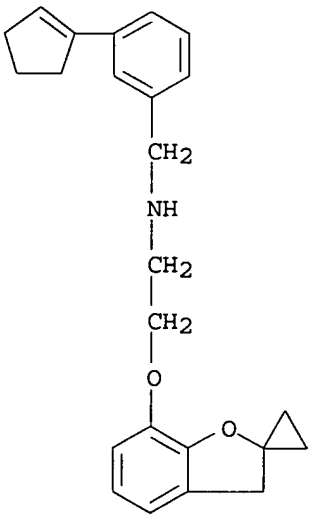
RN 680203-90-1 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-(spiro[benzofuran-2(3H),1'-cyclopropan]-7-yloxy)ethyl]- (9CI) (CA INDEX NAME)



RN 680203-92-3 CAPLUS
CN Benzenemethanamine, 3-(1-cyclopenten-1-yl)-N-[2-(spiro[benzofuran-2(3H),1'-cyclopropan]-7-yloxy)ethyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

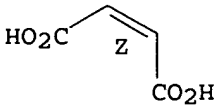
CRN 680203-90-1
CMF C24 H27 N O2



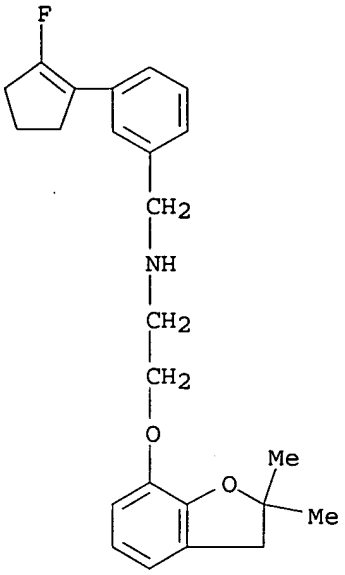
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



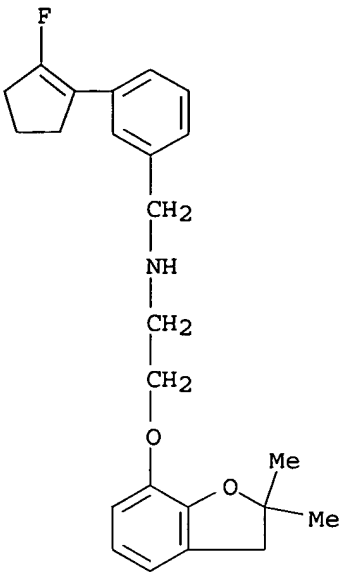
RN 680203-93-4 CAPLUS
CN Benzenemethanamine, N-[2-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]ethyl]-3-(2-fluoro-1-cyclopenten-1-yl)- (9CI) (CA INDEX NAME)



RN 680203-94-5 CAPLUS
CN Benzenemethanamine, N-[2-[(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)oxy]ethyl]-3-(2-fluoro-1-cyclopenten-1-yl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

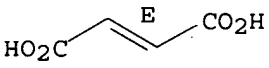
CRN 680203-93-4
CMF C24 H28 F N O2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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